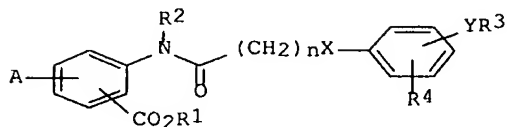


L1 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 2002:514224 HCAPLUS Full-text
 DOCUMENT NUMBER: 137:73259
 TITLE: VEGF receptor antagonists for treatment of
 neoangiogenesis-related diseases
 INVENTOR(S): Wada, Hisaya; Asanuma, Hajime; Takayama,
 Tetsuo; Sato,
 Masakazu; Yamagishi, Takehiro; Shibuya,
 Masashi
 PATENT ASSIGNEE(S): Taisho Pharmaceutical Co., Ltd., Japan
 SOURCE: Jpn. Kokai Tokkyo Koho, 34 pp.
 CODEN: JKXXAF
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2002193800	A2	20020710	JP 2000-391704	
20001222 <--				
PRIORITY APPLN. INFO.: 20001222			JP 2000-391704	
OTHER SOURCE(S): GI		MARPAT 137:73259		



AB VEGF receptor antagonists (I; R1, R2, R3 = H, C1-6 alkyl; R4 = H, C8-25 alkyl, etc.; A = S(O)qR', with q = 0, 1, 2 and R' = C1-6 alkyl, etc.; n = 0-15) and their pharmaceutically acceptable salts are claimed for treatment of neoangiogenesis-related diseases, including diabetic retinopathy, chronic rheumatism, solid tumor, and brain edema from ischemia-reperfusion injury.

L2 ANSWER 1 OF 1 WPIDS COPYRIGHT 2006 THE THOMSON CORP on STN
 ACCESSION NUMBER: 2002-587011 [63] WPIDS Full-text
 DOC. NO. CPI: C2002-166201
 TITLE: New anilide derivatives are VEGF receptor
 antagonists,
 angiogenesis
 useful for treatment of diseases caused by
 or promoted vascular permeability e.g. diabetic
 retinopathy, rheumatoid arthritis.

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DERWENT CLASS: B05
PATENT ASSIGNEE(S): (TAIS) TAISHO PHARM CO LTD
COUNTRY COUNT: 1
PATENT INFORMATION:

PATENT NO	KIND	DATE	WEEK	LA	PG
JP 2002193800	A	20020710	(200263)*		34<--

APPLICATION DETAILS:

PATENT NO	KIND	APPLICATION	DATE
JP 2002193800	A	JP 2000-391704	20001222

PRIORITY APPLN. INFO: JP 2000-391704 20001222

AB JP2002193800 A UPAB: 20021001

NOVELTY - Anilide derivatives (I) and their salts are new.

DETAILED DESCRIPTION - Anilide derivatives of formula (I) and their salts are new.

R1 = H or 1-6C alkyl;

R2 = H, 1-6C alkyl, 3-8C cycloalkyl(1-3C)alkyl, phenyl(1-3C)alkyl, CH₂CO₂R₅ or CH₂CON(R₆)R₇;

R3 = 8-25C alkyl, (CH₂)pCO₂R₁₁ or (CH₂)₃CONHCH(R₁₂)CONHR₁₃; p = 1-20;

R11 = H or 1-6C alkyl;

R12 = H or (CH₂)CO₂R₁₄;

R13 = 1-20C alkyl;

R14 = H or 1-6C alkyl;

R4 = H, OR₉ or CO₂R₁₀;

R₉, R₁₀ = H or 1-6C alkyl;

A = S(O)qR₁₅, or a group of formula (i) or (ii); q = 0-2;

R₁₅ = 1-6C alkyl; phenyl(1-3C)alkyl or (CH₂)mOR₁₆; m = 2-3;

R₁₆ = H or CH₃OCH₂;

Y₂ = O, S or N(R₂₄);

R₂₄ = H or 1-6C alkyl;

Z = CH or N;

R₁₇ = H, CO₂R₁₉, CH₂CO₂R₂₀, CH₂CH₂CO₂R₂₁ or CH=CHCO₂R₂₂; R₁₉-R₂₂ = H or 1-6C alkyl;

R₁₈ = H or CO₂R₂₃;

R₂₃ = 1-6C alkyl;

R₂₅ = H or CO₂R₂₆;

R₂₆ = H or 1-6C alkyl;

X = bond, O, CH=CH, CO or N(R₂₇); R₂₇ = H or (CH₃)₃COCO;

Y₁ = O, CONH, NHCO or N(R₂₈);

R₂₈ = H or CO₂C(CH₃)₃; and

n = 0-15.

ACTIVITY - Antidiabetic; Ophthalmological; Antirheumatic; Antiarthritic; Cytostatic; Antiinflammatory; Circulatory.

MECHANISM OF ACTION - Vascular endothelial growth factor (VEGF) receptor antagonist; Antiangiogenic.

USE - The anilide derivatives are used as vascular endothelial growth factor (VEGF) antagonists for the treatment of diseases caused by angiogenesis or promoted vascular permeability such as diabetic retinopathy, rheumatoid arthritis, solid tumor or brain edema caused by ischemic re-perfusion disorder (claimed).

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ADVANTAGE - The anilide inhibits angiogenesis or promoted vascular permeability by inhibiting vascular endothelial growth factor (VEGF) dependent vascular endothelial cell proliferation. Dwg.0/0

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